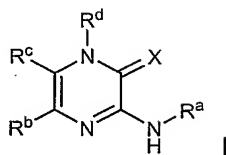


WHAT IS CLAIMED IS:

1. A compound of Formula I:



- 5 wherein X is selected from the group consisting of O, S, and NR^{5a};
 wherein R^a and R^c are independently selected from the group consisting of hydrido, hydroxyl, alkoxy, alkyl, haloalkyl, aryl, and heteroaryl;
 wherein R^b is a 3- to 12-membered cyclic moiety selected from the group consisting of cycloalkyl, cycloalkenyl, aryl, heterocycloalkyl, heterocycloalkenyl,
 10 and heteroaryl, wherein R^b is optionally substituted by one or more substituents selected from the group consisting of R², cycloalkyl, and cycloalkylalkyl;
 wherein R^d is selected from the group consisting of -(CH₂)_qNH₂, -(CH₂)_qNHR², and a 5- to 7-membered heterocycloalkyl having ring members selected from the group consisting of carbon and nitrogen, wherein said
 15 heterocycloalkyl may be optionally substituted by one or more substituents selected from the group consisting of R²;
 wherein R² is selected from the group consisting of halo, alkylsulfinyl, alkylsulfonyl, cyano, alkoxy carbonyl, alkyl, haloalkyl, hydroxyalkyl, haloalkoxy, nitro, acylamino, R⁷, -OR³, -(CH₂)_mOR³, -(CH₂)_pCO₂R³, -SR³, -SO₂N(R^{4a})R^{4b},
 20 -NR^{5a}R^{5b}, -NR^{5a}COR^{5b}, -NR^{5a}CO(OR^{5b}), -NR^{5a}SO₂R⁶, -NR^{5a}SO₂N(R^{6a})R^{6b}, -NR^{5a}CON(R^{6a})R^{6b}, -COR^{5a}, and -CON(R^{4a})R^{4b};
 wherein R³, R^{4a}, and R^{4b} are independently selected from the group consisting of hydrido, aryl, heteroaryl, heteroaralkyl, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, N,N-dialkylaminoalkyl, alkoxy, alkoxyalkyl, heterocycloalkyl, heterocycloalkenyl, cycloalkyl, cycloalkylalkyl, aralkyl, and aralkylamino wherein said aryl is optionally substituted with one or more radicals selected from the group consisting of alkyl, aminoalkyl, alkoxy and

halo, wherein R^{4a} and R^{4b} may be taken together to form a 3- to 7-membered heterocyclic ring having from 1 to 3 heteroatoms selected from S, SO, SO₂, O, N, and NR^{5a};

wherein R^{5a} and R^{5b} are independently selected from the group consisting of hydrido, alkyl, aryl, heteroaryl, aralkyl, heterocycloalkenyl, cycloalkyl, heterocycloalkyl, haloalkyl, aralkylamino, amino, aminoalkyl, aminoacyl, nitro, azido, and heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, and aralkyl moieties are optionally substituted with one or more substituents selected from the group consisting of alkylsulfonamido, sulfamyl, alkyl, alkylthio, alkylsulfenyl, alkylsulfonyl, N-alkylamino, aminoalkyl, alkylaminoalkyl, alkoxy, halo, acyloxy, oxy, formyl, haloalkyl, cyano, haloalkoxy, acyl, carboxyl, hydroxy, hydroxyalkoxy, phenoxy, nitro, azido, benzyloxy, N,N-dialkylaminoacyl, thioalkyl, aminoacyloxy, thiocyanato, isothiocyanato, alkylidioxy, hydroxyalkyl, N-alkylamino, alkoxycarbonyl, alkoxyalkyl, alkenylamino, alkynylamino, alkenyl, alkynyl, N,N-dialkylaminoalkoxy, heterocycloalkyl, heterocycloalkenyl, and heteroaryl;

wherein R^{6a} and R^{6b} are independently selected from the group consisting of hydrido, alkyl, heteroaryl, heterocycloalkenyl, haloalkyl, aralkylamino, heteroaralkyl, aryl, and aralkyl, wherein said aryl, heteroaryl, heterocycloalkenyl, and aralkyl moieties are optionally substituted with one or more substituents selected from alkyl, alkoxy, halo, haloalkyl, cyano, haloalkoxy, acyl, carboxyl, hydroxy, hydroxyalkoxy, phenoxy, benzyloxy, N,N-dialkylaminoalkoxy, heterocycloalkyl, heterocycloalkenyl, and heteroaryl, wherein R^{6a} and R^{6b} may be taken together to form a 3- to 7-membered heterocyclic ring having 1 to 3 heteroatoms selected from S, SO, SO₂, O, N, and NR^{5a};

wherein R^7 is selected from the group consisting of aryl, heterocycloalkenyl, heteroaryl, and alkenyl, wherein R^7 is optionally substituted with one or more substituents selected from the group consisting of R^{5a} ;

wherein n is 1, 2, or 3

wherein m is 1, 2, or 3;
 wherein p is 0, 1, or 2;
 wherein q is an integer between 0 and 9;
 or a pharmaceutically acceptable salt thereof.

5

2. A compound according to claim 1:

wherein R^a and R^c are independently selected from the group consisting of hydrido, hydroxyl, C_{1-6} alkoxy, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-12} aryl, and 3- to 12-membered heteroaryl

10

wherein R^b is a 3- to 12-membered cyclic moiety selected from the group consisting of C_{3-12} cycloalkyl, C_{3-12} cycloalkenyl, C_{3-12} aryl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered 3- to 12-membered heterocycloalkenyl, and 3- to 12-membered heteroaryl, wherein R^b is optionally substituted by one or more substituents selected from the group consisting of R^2 , C_{3-12} cycloalkyl, and

15

C_{4-18} cycloalkylalkyl;

wherein R^d is selected from the group consisting of $-(CH_2)_qNH_2$, $-(CH_2)_qNHR^2$, and a 5- to 7-membered heterocycloalkyl having ring members selected from the group consisting of carbon and nitrogen, wherein said heterocycloalkyl may be optionally substituted by one or more substituents

20

selected from the group consisting of R^2 ;

wherein R^2 is selected from the group consisting of halo, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, cyano, C_{2-7} alkoxy carbonyl, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} hydroxyalkyl, C_{1-6} haloalkoxy, nitro, C_{2-10} acylamino, R^7 , $-OR^3$, $-(CH_2)_mOR^3$, $-(CH_2)_pCO_2R^3$, $-SR^3$, $-SO_2N(R^{4a})R^{4b}$, $-NR^{5a}R^{5b}$, $-NR^{5a}COR^{5b}$, $-NR^{5a}CO(OR^{5b})$, $-NR^{5a}SO_2R^6$, $-NR^{5a}SO_2N(R^{6a})R^{6b}$, $-NR^{5a}CON(R^{6a})R^{6b}$, $-COR^{5a}$, and $-CON(R^{4a})R^{4b}$;

25

wherein R^3 , R^{4a} , and R^{4b} are independently selected from the group consisting of hydrido, C_{3-12} aryl, 3- to 12-membered heteroaryl, 4- to 18-membered heteroalkyl, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} hydroxyalkyl, C_{1-6} aminoalkyl, C_{2-12} alkylaminoalkyl, N-N-di(C_{1-6} alkyl)amino(C_{1-6}

alkyl), C₁₋₆ alkoxy, C₂₋₁₂ alkoxyalkyl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, C₃₋₁₂ cycloalkyl, C₄₋₁₈ cycloalkylalkyl, C₄₋₁₈ aralkyl, and C₄₋₁₈ aralkylamino, wherein said aryl is optionally substituted with one or more radicals selected from the group consisting of C₁₋₆ alkyl, C₁₋₆ aminoalkyl, C₁₋₆ alkoxy and halo, wherein R^{4a} and R^{4b} may be taken together to form a 3- to 7-membered heterocyclic ring having from 1 to 3 heteroatoms selected from S, SO, SO₂, O, N, and NR^{5a};

wherein R^{5a} and R^{5b} are independently selected from the group consisting of hydrido, C₁₋₆ alkyl, C₃₋₁₂ aryl, 3- to 12-membered heteroaryl, C₄₋₁₈ aralkyl, 3- to 12-membered heterocycloalkenyl, C₃₋₁₂ cycloalkyl, 3- to 12-membered heterocycloalkyl, C₁₋₆ haloalkyl, C₄₋₁₈ aralkylamino, amino, C₁₋₆ aminoalkyl, C₂₋₁₀ aminoacyl, nitro, azido, and 4- to 18-membered heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, and aralkyl moieties are optionally substituted with one or more substituents selected from the group consisting of C₁₋₆ alkylsulfonamido, sulfamyl, C₁₋₆ alkyl, C₁₋₆ alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, N-(C₁₋₆ alkyl)amino, C₁₋₆ aminoalkyl, C₂₋₁₂ alkylaminoalkyl, C₁₋₆ alkoxy, halo, C₂₋₁₀ acyloxy, oxy, formyl, C₁₋₆ haloalkyl, cyano, C₁₋₆ haloalkoxy, C₂₋₁₀ acyl, carboxyl, hydroxy, C₁₋₆ hydroxyalkoxy, phenoxy, nitro, azido, benzyloxy, N,N-di(C₁₋₆ alkyl)amino(C₂₋₁₀ acyl), C₁₋₆ thioalkyl, C₂₋₁₀ aminoacyloxy, thiocyanato, isothiocyanato, C₁₋₆ alkylidioxy, C₁₋₆ hydroxyalkyl, N-(C₁₋₆ alkyl)amino, C₂₋₇ alkoxycarbonyl, C₂₋₁₂ alkoxyalkyl, C₂₋₆ alkenylamino, C₂₋₆ alkynylamino, C₂₋₆ alkenyl, C₂₋₆ alkynyl, N,N-di(C₁₋₆ alkyl)amino(C₁₋₆ alkoxy), 3- to 12-membered heterocycloalkenyl, 3- to 12-membered heterocycloalkyl, and 3- to 12-membered heteroaryl;

wherein R^{6a} and R^{6b} are independently selected from the group consisting of hydrido, C₁₋₆ alkyl, 3- to 12-membered heteroaryl, 3- to 12-membered heterocycloalkenyl, C₁₋₆ haloalkyl, C₄₋₁₈ aralkylamino, 4- to 18-membered heteroaralkyl, C₃₋₁₂ aryl, and C₄₋₁₈ aralkyl, wherein said aryl, heteroaryl, heterocycloalkenyl, and aralkyl moieties are optionally substituted with one or

more substituents selected from C₁₋₆ alkyl, C₁₋₆ alkoxy, halo, C₁₋₆ haloalkyl, cyano, C₁₋₆ haloalkoxy, C₂₋₁₀ acyl, carboxyl, hydroxy, C₁₋₆ hydroxyalkoxy, phenoxy, benzyloxy, N,N-di(C₁₋₆ alkyl)amino(C₁₋₆ alkoxy), 3- to 12-membered heterocycloalkenyl, 3- to 12-membered heterocycloalkyl, and 3- to 12-membered heteroaryl, wherein R^{6a} and R^{6b} may be taken together to form a 3- to 7-membered heterocyclic ring having 1 to 3 heteroatoms selected from S, SO, SO₂, O, N, and NR^{5a}; and

wherein R⁷ is selected from the group consisting of C₃₋₁₂ aryl, 3- to 12-membered heterocycloalkenyl, 3- to 12-membered heteroaryl, and C₂₋₆ alkenyl, wherein R⁷ is optionally substituted with one or more substituents selected from the group consisting of R^{5a};
or a pharmaceutically acceptable salt thereof.

3. A compound according to either of claims 1 or 2:

wherein R^a and R^c are independently selected from the group consisting of hydrido, hydroxyl, methoxy, ethoxy, propoxy, butoxy, methyl, ethyl, propyl, butyl, pentyl, hexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, and isoindoledionyl;

wherein R^b is a 3- to 12-membered cyclic moiety selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclohexenyl, phenyl, biphenyl, naphthyl, indenyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, and isoindoledionyl, wherein R^b is optionally substituted by one or more substituents

selected from the group consisting of R^2 , cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclopropylethyl, cyclobutylmethyl, cyclobutylethyl, cyclopentylmethyl, cyclopentylethyl, cyclohexylmethyl, and cyclohexylethyl;

5 wherein R^d is selected from the group consisting of $-(CH_2)_qNH_2$, $-(CH_2)_qNHR^2$, piperidinyl, pyrrolidinyl, pyrazolidinyl, and imidazolidinyl, wherein said piperidinyl, pyrrolidinyl, pyrazolidinyl, or imidazolidinyl may be optionally substituted by one or more substituents selected from the group consisting of R^2 ;

wherein R^2 is selected from the group consisting of chloro, fluoro, bromo,
 10 iodo, methylsulfinyl, ethylsulfinyl, propylsulfinyl, butylsulfinyl, methylsulfonyl, ethylsulfonyl, propylsulfonyl, butylsulfonyl, cyano, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl, methyl, ethyl, propyl, butyl, pentyl, hexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, hydroxymethyl, hydroxyethyl, hydroxypropyl,
 15 hydroxybutyl, hydroxypentyl, hydroxyhexyl, chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, nitro, methylcarbonylamino, ethylcarbonylamino, propylcarbonylamino, butylcarbonylamino, pentylcarbonylamino, hexylcarbonylamino, phenylcarbonylamino, benzylcarbonylamino, R^7 , $-OR^3$, $-(CH_2)_mOR^3$, $-(CH_2)_pCO_2R^3$,
 20 $-SR^3$, $-SO_2N(R^{4a})R^{4b}$, $-NR^{5a}R^{5b}$, $-NR^{5a}COR^{5b}$, $-NR^{5a}CO(OR^{5b})$, $-NR^{5a}SO_2R^6$, $-NR^{5a}SO_2N(R^{6a})R^{6b}$, $-NR^{5a}CON(R^{6a})R^{6b}$, $-COR^{5a}$, and $-CON(R^{4a})R^{4b}$;

wherein R^3 , R^{4a} , and R^{4b} are independently selected from the group consisting of hydrido, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl,
 25 pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, pyridinylmethyl, pyridinylethyl, benzothiophenylmethyl, benzothiophenylethyl, indolylmethyl, indolylethyl, isoquinolinylmethyl, isoquinolinylethyl, quinolinylmethyl, quinolinylethyl, thienylmethyl, thienylethyl, pyrrolylmethyl, pyrrolylethyl, furylmethyl, furylethyl, pyrazolylmethyl, pyrazolelethyl, imidazolylmethyl,

imidazolylethyl, isoxazolylmethyl, isoxazolylethyl, oxazolylmethyl, oxazolylethyl, isoindoledionylmethyl, isoindoledionylethyl, methyl, ethyl, propyl, butyl, pentyl, hexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, ethenyl, propenyl, butenyl, pentenyl, ethynyl, propynyl, butynyl, pentynyl, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, N,N-dimethylaminomethyl, N,N-dimethylaminoethyl, N-methyl-N-ethylaminomethyl, N-methyl-N-ethylaminoethyl, N-methyl-N-propylaminomethyl, N-methyl-N-propylaminoethyl, N,N-diethylaminomethyl, N,N-diethylaminoethyl, N-ethyl-N-propylaminomethyl, N-ethyl-N-propylaminoethyl, N,N-dipropylaminomethyl, N,N-dipropylaminoethyl, methoxy, ethoxy, propoxy, butoxy, methoxymethyl, methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl, ethoxypropyl, propoxymethyl, propoxyethyl, propoxypropyl, butoxymethyl, butoxyethyl, butoxypropyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclopropylethyl, cyclobutylmethyl, cyclobutylethyl, cyclopentylmethyl, cyclopentylethyl, cyclohexylmethyl, cyclohexylethyl, benzyl, phenylethyl, benzylamino, and phenylethylamino, wherein said phenyl, biphenyl, naphthyl, or indenyl moiety is optionally substituted with one or more radicals selected from the group consisting of methyl, ethyl, propyl, butyl, pentyl, hexyl, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, methoxy, ethoxy, propoxy, butoxy, chloro,

fluoro, bromo, and iodo, wherein R^{4a} and R^{4b} may be taken together to form a moiety selected from the group consisting of piperidinyl, pyrrolidinyl,

pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl,

dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl,

5 dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, and isoindoledionyl;

wherein R^{5a} and R^{5b} are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, pentyl, hexyl, phenyl, biphenyl, naphthyl,

10 indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, benzyi, phenylethyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl,

dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl,

dihydroisoxazolyl, dihydrooxazolyl, cyclopropyl, cyclobutyl, cyclopentyl,

15 cyclohexyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, benzylamino, phenylethylamino, amino,

aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, aminomethylcarbonyl, aminoethylcarbonyl, aminopropylcarbonyl,

20 aminobutylcarbonyl, aminopentylcarbonyl, aminohexylcarbonyl, aminophenylcarbonyl, aminobenzylcarbonyl, nitro, azido, pyridinylmethyl, pyridinylethyl, benzothiophenylmethyl, benzothiophenylethyl, indolylmethyl, indolyylethyl, isoquinolinylmethyl, isoquinolinylethyl, quinolinylmethyl, quinolinylethyl, thienylmethyl, thienylethyl, pyrrolylmethyl, pyrrolylethyl,

25 furylmethyl, furylethyl, pyrazolylmethyl, pyrazolylethyl, imidazolylmethyl, imidazolylethyl, isoxazolylmethyl, isoxazolylethyl, oxazolylmethyl, oxazolylethyl, isoindoledionylmethyl, and isoindoledionylethyl, wherein said methyl, ethyl, propyl, butyl, pentyl, hexyl, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl,

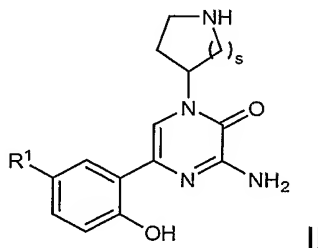
pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminoethyl, benzyl, and phenylethyl moieties are optionally substituted with one or more substituents selected from the group consisting of methylsulfonamido, ethylsulfonamido, propylsulfonamido, butylsulfonamido, sulfamyl, methyl, ethyl, propyl, butyl, pentyl, hexyl, methylthio, ethylthio, propylthio, butylthio, methylsulfinyl, ethylsulfinyl, propylsulfinyl, butylsulfinyl, methylsulfonyl, ethylsulfonyl, propylsulfonyl, butylsulfonyl, N-methylamino, N-ethylamino, N-propylamino, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminoethyl, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methoxy, ethoxy, propoxy, butoxy, chloro, fluoro, bromo, iodo, acyloxy, oxy, formyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyano, chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, methylcarbonyl, ethylcarbonyl, propylcarbonyl, butylcarbonyl, pentylcarbonyl, hexylcarbonyl, phenylcarbonyl, benzylcarbonyl, carboxyl, hydroxy, hydroxymethoxy, hydroxyethoxy, hydroxypropoxy, hydroxybutoxy, phenoxy, nitro, azido, benzyloxy, N,N-dimethylaminomethylcarbonyl, N,N-dimethylaminoethylcarbonyl, N,N-dimethylaminophenylcarbonyl, N-methyl-N-ethylaminomethylcarbonyl, N-methyl-N-ethylaminoethylcarbonyl, N-methyl-N-ethylaminophenylcarbonyl, N-methyl-N-propylaminomethylcarbonyl, N-methyl-N-propylaminoethylcarbonyl, N-methyl-N-propylaminophenylcarbonyl, N,N-diethylaminomethylcarbonyl, N,N-diethylaminoethylcarbonyl, N,N-diethylaminophenylcarbonyl, N-ethyl-N-propylaminomethylcarbonyl, N-ethyl-N-propylaminoethylcarbonyl, N-ethyl-N-propylaminophenylcarbonyl, N,N-dipropylaminomethylcarbonyl, N,N-

- dipropylaminoethylcarbonyl, N,N-dipropylaminophenylcarbonyl, thiomethyl, thioethyl, thiopropyl, thiobutyl, thiopentyl, thiohexyl, aminomethylcarbonyloxy, aminoethylcarbonyloxy, aminopropylcarbonyloxy, aminobutylcarbonyloxy, aminopentylcarbonyloxy, aminohexylcarbonyloxy, aminophenylcarbonyloxy,
- 5 aminobenzylcarbonyloxy, thiocyanato, isothiocyanato, methyldioxy, ethyldioxy, propyldioxy, butyldioxy, pentyldioxy, hexyldioxy, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, N-methylamino, N-ethylamino, N-propylamino, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl, methoxymethyl, methoxyethyl, methoxypropyl, ethoxymethyl,
- 10 ethoxyethyl, ethoxypropyl, propoxymethyl, propoxyethyl, propoxypropyl, butoxymethyl, butoxyethyl, butoxypropyl, ethenylamino, propenylamino, butenylamino, pentenylamino, ethynylamino, propynylamino, butynylamino, pentynylamino, ethenyl, propenyl, butenyl, pentenyl, ethynyl, propynyl, butynyl, pentynyl, N,N-dimethylaminomethoxy, N,N-dimethylaminoethoxy, N-methyl-N-ethylaminomethoxy, N-methyl-N-ethylaminoethoxy, N-methyl-N-propylaminomethoxy, N-methyl-N-propylaminoethoxy, N,N-diethylaminomethoxy, N,N-diethylaminoethoxy, N-ethyl-N-propylaminomethoxy, N-ethyl-N-propylaminoethoxy, N,N-dipropylaminomethoxy, N,N-dipropylaminoethoxy, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl,
- 20 isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, and isoindoledionyl;
- 25 wherein R^{6a} and R^{6b} are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, pentyl, hexyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl,

dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, benzylamino, phenylethylamino, pyridinylmethyl, pyridinylethyl, benzothiophenylmethyl, benzothiophenylethyl, indolylmethyl, indolyethylethyl, 5 isoquinolinylmethyl, isoquinolinylethyl, quinolinylmethyl, quinolinylethyl, thienylmethyl, thienylethyl, pyrrolylmethyl, pyrrolylethyl, furylmethyl, furylethyl, pyrazolylmethyl, pyrazolyethylethyl, imidazolylmethyl, imidazolylethyl, isoxazolylmethyl, isoxazolylethyl, oxazolylmethyl, oxazolylethyl, isoindoledionylmethyl, isoindoledionylethyl, phenyl, biphenyl, naphthyl, indenyl, 10 benzyl, and phenylethyl, wherein said phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, benzyl, 15 and phenylethyl moieties are optionally substituted with one or more substituents selected from methyl, ethyl, propyl, butyl, pentyl, hexyl, methoxy, ethoxy, propoxy, butoxy, chloro, fluoro, bromo, iodo, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyano, chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, 20 difluoromethoxy, trifluoromethoxy, methylcarbonyl, ethylcarbonyl, propylcarbonyl, butylcarbonyl, pentylcarbonyl, hexylcarbonyl, phenylcarbonyl, benzylcarbonyl, carboxyl, hydroxy, hydroxymethoxy, hydroxyethoxy, hydroxypropoxy, hydroxybutoxy, phenoxy, benzyloxy, N,N-dimethylaminomethoxy, N,N-dimethylaminoethoxy, N-methyl-N-ethylaminomethoxy, N-methyl-N-ethylaminoethoxy, N-methyl-N-propylaminomethoxy, N-methyl-N-propylaminoethoxy, N,N-diethylaminomethoxy, N,N-diethylaminoethoxy, N-ethyl-N-propylaminomethoxy, N-ethyl-N-propylaminoethoxy, N,N-dipropylaminomethoxy, N,N-dipropylaminoethoxy, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, 25

- isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl,
- 5 isoxazolyl, oxazolyl, and isoindoledionyl, wherein R^{6a} and R^{6b} may be taken together to form a moiety selected from the group consisting of piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl,
- 10 benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, and isoindoledionyl; and
- wherein R^7 is selected from the group consisting of phenyl, biphenyl, naphthyl, indenyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl,
- 15 dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, ethenyl, propenyl, butenyl, and pentenyl, wherein R^7 is optionally substituted with one or more substituents selected from the group consisting of R^{5a} ;
- 20 or a pharmaceutically acceptable salt thereof.

4. A compound according to claim 1 wherein the compound of Formula I is a compound of Formula II:



- 25 wherein s is 1, 2, or 3;

wherein R¹ is selected from the group consisting of hydrido, -OR³, C₁₋₆ alkyl, C₅₋₇ cycloalkyl, benzyl, -CH₂(C₃₋₇ cycloalkyl), aryl, halo, heterocycloalkyl, heterocycloalkenyl, and heteroaryl;

5 wherein R³ is selected from the group consisting of hydrido, C₁₋₆ alkyl, C₅₋₇ cycloalkyl, benzyl, -CH₂(C₃₋₇ cycloalkyl), and aryl;
or a pharmaceutically acceptable salt thereof.

5. A compound according to claim 4:

10 wherein R¹ is selected from the group consisting of hydrido, -OR³, C₁₋₆ alkyl, C₅₋₇ cycloalkyl, benzyl, -CH₂(C₃₋₇ cycloalkyl), C₃₋₁₂ aryl, halo, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, and 3- to 12-membered heteroaryl; and

15 wherein R³ is selected from the group consisting of hydrido, C₁₋₆ alkyl, C₅₋₇ cycloalkyl, benzyl, -CH₂(C₃₋₇ cycloalkyl), and C₃₋₁₂ aryl;
or a pharmaceutically acceptable salt thereof.

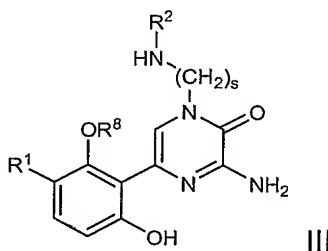
6. A compound according to claim 5:

20 wherein R¹ is selected from the group consisting of hydrido, -OR³, methyl, ethyl, propyl, butyl, pentyl, hexyl, cyclopentyl, cyclohexyl, cycloheptyl, benzyl, methylcyclopropyl, methylcyclobutyl, methylcyclopentyl, methylcyclohexyl, phenyl, biphenyl, naphthyl, indenyl, chloro, fluoro, bromo, iodo, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, 25 benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, and isoindoledionyl; and

wherein R³ is selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, pentyl, hexyl, cyclopentyl, cyclohexyl, cycloheptyl, benzyl,

methylcyclopropyl, methylcyclobutyl, methylcyclopentyl, methylcyclohexyl, phenyl, biphenyl, naphthyl, and indenyl;
or a pharmaceutically acceptable salt thereof.

- 5 7. A compound according to claim 1 wherein the compound of Formula I is a compound of Formula III:



wherein s is 1, 2, or 3;

- wherein R¹ is selected from the group consisting of hydrido, -OR³, C₁₋₆ alkyl, C₅₋₇ cycloalkyl, benzyl, -CH₂(C₃₋₇ cycloalkyl), aryl, halo, heterocycloalkyl, heterocycloalkenyl, and heteroaryl;

wherein R² is hydrido or C₁₋₆ alkyl;

wherein R³ is selected from the group consisting of C₁₋₆ alkyl, C₅₋₇ cycloalkyl, benzyl, -CH₂(C₃₋₇ cycloalkyl), and aryl; and

- 15 wherein R⁸ is selected from the group consisting of C₁₋₆ alkyl, C₅₋₇ cycloalkyl, benzyl, and -CH₂(C₃₋₇ cycloalkyl);

or a pharmaceutically acceptable salt thereof.

8. A compound according to claim 7:

- 20 wherein R¹ is selected from the group consisting of hydrido, -OR³, C₁₋₆ alkyl, C₅₋₇ cycloalkyl, benzyl, -CH₂(C₃₋₇ cycloalkyl), C₃₋₁₂ aryl, halo, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, and 3- to 12-membered heteroaryl;

wherein R² is hydrido or C₁₋₆ alkyl;

wherein R³ is selected from the group consisting of C₁₋₆ alkyl, C₅₋₇ cycloalkyl, benzyl, -CH₂(C₃₋₇ cycloalkyl), and C₃₋₁₂ aryl; and

wherein R⁸ is selected from the group consisting of C₁₋₆ alkyl, C₅₋₇ cycloalkyl, benzyl, and -CH₂(C₃₋₇ cycloalkyl);

5 or a pharmaceutically acceptable salt thereof.

9. A compound according to claim 8:

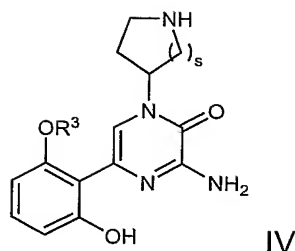
wherein R¹ is selected from the group consisting of hydrido, -OR³, methyl, ethyl, propyl, butyl, pentyl, hexyl, cyclopentyl, cyclohexyl, cycloheptyl, benzyl, methylcyclopropyl, methylcyclobutyl, methylcyclopentyl, methylcyclohexyl, phenyl, biphenyl, naphthyl, indenyl, chloro, fluoro, bromo, iodo, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, and isoindoledionyl;

15 wherein R² is selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, pentyl, and hexyl;

wherein R³ is selected from the group consisting of methyl, ethyl, propyl, butyl, pentyl, hexyl, cyclopentyl, cyclohexyl, cycloheptyl, benzyl, methylcyclopropyl, methylcyclobutyl, methylcyclopentyl, methylcyclohexyl, phenyl, biphenyl, naphthyl, and indenyl; and

20 wherein R⁸ is selected from the group consisting of methyl, ethyl, propyl, butyl, pentyl, hexyl, cyclopentyl, cyclohexyl, cycloheptyl, benzyl, methylcyclopropyl, methylcyclobutyl, methylcyclopentyl, and methylcyclohexyl; 25 or a pharmaceutically acceptable salt thereof.

10. A compound according to claim 1 wherein the compound of Formula I is a compound of Formula IV:



wherein n is 1, 2, or 3; and

wherein R³ is selected from the group consisting of hydrido, C₁₋₆ alkyl, C₅₋₇ cycloalkyl, benzyl, and -CH₂(C₃₋₇ cycloalkyl);

5 or a pharmaceutically acceptable salt thereof.

11. A compound according to claim 10:

wherein wherein R³ is selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, pentyl, hexyl, cyclopentyl, cyclohexyl, cycloheptyl, benzyl, methylcyclopropyl, methylcyclobutyl, methylcyclopentyl, and methylcyclohexyl;

or a pharmaceutically acceptable salt thereof.

12. A compound according to claim 1 selected from the group of compounds consisting of:

- 3-amino-5-(2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;
- 3-amino-5-(5-fluoro-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;
- 3-amino-5-(4-fluoro-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;
- 3-amino-5-(3-fluoro-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;
- 20 3-amino-5-(2-fluoro-6-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;
- 3-amino-5-(2-bromo-6-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;
- 3-amino-5-(5-bromo-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;
- 3-amino-5-(4-bromo-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;
- 3-amino-5-(3-bromo-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;
- 25 3-amino-5-(2-chloro-6-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

- 3-amino-5-(5-chloro-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;
3-amino-5-(4-chloro-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;
3-amino-5-(3-chloro-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;
3-amino-5-(2-hydroxy-6-methylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;
5 3-amino-5-(2-hydroxy-5-methylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;
3-amino-5-(2-hydroxy-4-methylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;
3-amino-5-(2-hydroxy-3-methylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;
3-amino-5-(5-cyclopentyl-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-
one;
10 3-amino-5-(5-cyclohexyl-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-
one;
3-amino-5-(5-cycloheptyl-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-
one;
3-amino-5-(5-cyclopropylmethyl-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-
15 2(1H)-one;
3-amino-5-(5-cyclobutylmethyl-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-
2(1H)-one;
3-amino-5-(5-cyclopentylmethyl-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-
2(1H)-one;
20 3-amino-5-(5-cyclohexylmethyl-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-
2(1H)-one;
3-amino-5-(5-phenyl-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;
3-amino-5-[2-hydroxy-5-(1-naphthyl)phenyl]-1-piperidin-3-ylpyrazin-2(1H)-
one;
25 3-amino-5-[2-hydroxy-5-(2-naphthyl)phenyl]-1-piperidin-3-ylpyrazin-2(1H)-
one;
3-amino-5-(2-hydroxy-5-pyrrolidin-2-ylphenyl)-1-piperidin-3-ylpyrazin-
2(1H)-one;

3-amino-5-(2-hydroxy-5-pyrrolidin-3-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(2-hydroxy-5-pyrrolidin-1-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

5 3-amino-5-(2-hydroxy-5-pyrazolidin-1-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(2-hydroxy-5-imidazolidin-1-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

10 3-amino-5-(2-hydroxy-5-pyrazolidin-3-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(2-hydroxy-5-pyrazolidin-4-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(2-hydroxy-5-imidazolidin-1-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

15 3-amino-5-(2-hydroxy-5-imidazolidin-4-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(2-hydroxy-5-tetrahydrofuran-2-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

20 3-amino-5-(2-hydroxy-5-tetrahydrofuran-3-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(2-hydroxy-5-tetrahydrothien-2-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(2-hydroxy-5-tetrahydrothien-3-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

25 3-amino-5-(2-hydroxy-5-isoxazolidin-2-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-[2-hydroxy-5-(1,3-oxazolidin-3-yl)phenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(2-hydroxy-5-isoxazolidin-3-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-[2-hydroxy-5-(1,3-oxazolidin-4-yl)phenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

5 3-amino-5-[2-hydroxy-5-(1,3-oxazolidin-2-yl)phenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(2-hydroxy-5-isoxazolidin-4-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

10 3-amino-5-[2-hydroxy-5-(1,3-oxazolidin-5-yl)phenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(2-hydroxy-5-isoxazolidin-5-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-[2-hydroxy-5-(1H-pyrrol-1-yl)phenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

15 3-amino-5-[2-hydroxy-5-(1H-pyrrol-2-yl)phenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-[2-hydroxy-5-(1H-pyrrol-3-yl)phenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

20 3-amino-5-[2-hydroxy-5-(1H-pyrazol-1-yl)phenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-[2-hydroxy-5-(1H-imidazol-1-yl)phenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-[2-hydroxy-5-(1H-pyrazol-3-yl)phenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

25 3-amino-5-[2-hydroxy-5-(1H-imidazol-4-yl)phenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-[2-hydroxy-5-(1H-imidazol-2-yl)phenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-[5-(2-furyl)-2-hydroxyphenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

- 3-amino-5-[5-(3-furyl)-2-hydroxyphenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;
3-amino-5-(2-hydroxy-5-thien-2-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-
one;
3-amino-5-(2-hydroxy-5-thien-3-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-
5 one;
3-amino-5-(2-hydroxy-5-isoxazolidin-2-ylphenyl)-1-piperidin-3-ylpyrazin-
2(1H)-one;
3-amino-5-(2-hydroxy-5-isoxazol-3-ylphenyl)-1-piperidin-3-ylpyrazin-
2(1H)-one;
10 3-amino-5-[2-hydroxy-5-(1,3-oxazol-4-yl)phenyl]-1-piperidin-3-ylpyrazin-
2(1H)-one;
3-amino-5-[2-hydroxy-5-(1,3-oxazol-2-yl)phenyl]-1-piperidin-3-ylpyrazin-
2(1H)-one;
3-amino-5-(2-hydroxy-5-isoxazol-4-ylphenyl)-1-piperidin-3-ylpyrazin-
15 2(1H)-one;
3-amino-5-[2-hydroxy-5-(1,3-oxazol-5-yl)phenyl]-1-piperidin-3-ylpyrazin-
2(1H)-one;
3-amino-5-(2-hydroxy-5-isoxazol-5-ylphenyl)-1-piperidin-3-ylpyrazin-
2(1H)-one;
20 3-amino-5-(2-hydroxy-5-piperidin-1-ylphenyl)-1-piperidin-3-ylpyrazin-
2(1H)-one;
3-amino-5-(2-hydroxy-5-piperidin-2-ylphenyl)-1-piperidin-3-ylpyrazin-
2(1H)-one;
3-amino-5-(2-hydroxy-5-piperidin-3-ylphenyl)-1-piperidin-3-ylpyrazin-
25 2(1H)-one;
3-amino-5-(2-hydroxy-5-piperidin-4-ylphenyl)-1-piperidin-3-ylpyrazin-
2(1H)-one;
3-amino-5-(2-hydroxy-5-piperazin-1-ylphenyl)-1-piperidin-3-ylpyrazin-
2(1H)-one;

3-amino-5-(2-hydroxy-5-piperazin-2-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(2-hydroxy-5-pyridin-2-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

5 3-amino-5-(2-hydroxy-5-pyridin-3-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(2-hydroxy-5-pyridin-4-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

10 3-amino-5-(2-hydroxy-5-pyridazin-3-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(2-hydroxy-5-pyridazin-4-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(2-hydroxy-5-pyrazin-2-ylphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

15 3-amino-5-(5-benzyl-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(2,5-dihydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(2-hydroxy-5-methoxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(5-ethoxy-2-hydroxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

20 3-amino-5-(2-hydroxy-5-propoxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(2-hydroxy-5-isopropoxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

25 3-amino-5-(2-hydroxy-5-t-butoxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-(2-hydroxy-5-phenoxyphenyl)-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-[2-hydroxy-5-(1-naphthyloxy)phenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-[2-hydroxy-5-(2-naphthyloxy)phenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-[5-(benzyloxy)-2-hydroxyphenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

5 3-amino-5-[5-(cyclopropylmethoxy)-2-hydroxyphenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-[5-(cyclopentylmethoxy)-2-hydroxyphenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

10 3-amino-5-[5-(cyclohexylmethoxy)-2-hydroxyphenyl]-1-piperidin-3-ylpyrazin-2(1H)-one;

3-amino-5-[5-(cyclohexyloxy)-2-hydroxyphenyl]-1-piperidin-3-ylpyrazin-2(1H)-one; and

3-amino-5-[5-(cyclopentyloxy)-2-hydroxyphenyl]-1-piperidin-3-ylpyrazin-2(1H)-one.

15

13. A pharmaceutical composition comprising a compound according to any one of claims 1-12 or a pharmaceutically-acceptable salt thereof, and a pharmaceutically acceptable carrier, diluent, or adjuvant.

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14. Use of a compound according to any one of claims 1-2 for the preparation of a medicament for the treatment of cancer, inflammation, or an inflammation-associated disorder in a subject.

25

15. A use according to claim 14 wherein medicament is for the treatment of arthritis, cancer, asthma, COPD, frailty, diabetes, atherosclerosis, pain, and/or dermatological disease.